10/501054

Connecting via Winsock to STN

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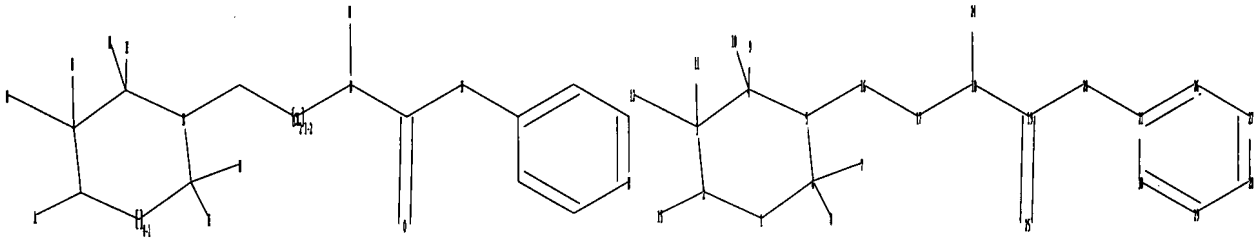

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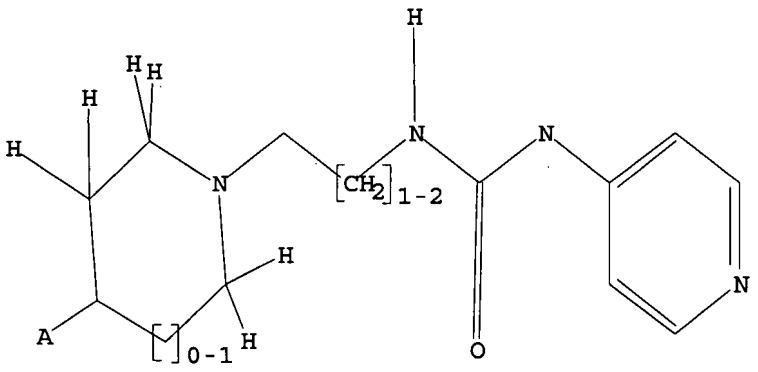
ring nodes :
1 2 3 4 5 6 21 26 27 28 29 30
ring/chain nodes :
15
chain bonds :
3-11 3-12 4-9 4-10 5-16 6-7 6-8 16-17 17-18 18-19 18-24 19-20 19-25
20-21
ring/chain bonds :
2-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 21-26 21-30 26-27 27-28 28-29 29-30
exact/norm bonds :
1-2 1-6 2-3 2-15 3-4 4-5 5-6 5-16 18-19 19-20 19-25 20-21
exact bonds :
3-11 3-12 4-9 4-10 6-7 6-8 16-17 17-18 18-24
normalized bonds :
21-26 21-30 26-27 27-28 28-29 29-30

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
21:Atom 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L3          621 SEA SSS FUL L1

=> file ca


=> s l3
L4            7 L3

=> d ibib abs fhitstr 1-7
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L4 ANSWER 1 OF 7 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:398256 CA
TITLE: Preparation of 1-[2-(4-benzyl-4-hydroxy-piperidin-1-yl)-ethyl]-3-(2-methyl-quinolin-4-yl)-urea as crystalline sulfate salt
INVENTOR(S): Redey, Stephane; Buchmann, Stephan; Bonard, Jean Michel; Woinet, Bertrand
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040728	A1	20060420	WO 2005-IB53340	20051011

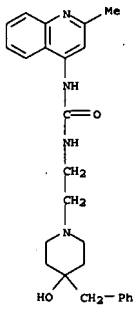
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2004-EP11410 A 20041012

AB The invention relates to 1-[2-(4-benzyl-4-hydroxy-piperidin-1-yl)-ethyl]-3-(2-methyl-quinolin-4-yl)-urea (I) as a crystalline, stoichiometrically defined and non-hygroscopic sulfate salt and a process for its preparation Further, the present invention relates to the use of I as a crystalline, stoichiometrically defined and non-hygroscopic sulfate salt alone or in combination with other compds. Further, the present invention relates to formulations of I as a crystalline, stoichiometrically defined and non-hygroscopic sulfate salt in the preparation of pharmaceutical compns. The invention also relates to the use of such sulfate salts in formulations as neurohormonal antagonists. I sulfate was prepared by the reaction of alc. solution of I with aqueous sulfuric acid, purity = 97.7%, yield = 90%.

IT 540769-28-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzylhydroxypiperidinyl quinoline urea as crystalline sulfate salt)

RN 540769-28-6 CA
CN Urea,
N-[2-[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]ethyl]-N'-(2-methyl-4-quinolinyl)-, (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:376496 CA
TITLE: 1-[2-(4-benzyl-4-hydroxypiperidin-1-yl)ethyl]-3-(2-methylquinolin-4-yl)urea salt
INVENTOR(S): Velker, Jorg; Scherz, Michael; Weller, Thomas
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006079552	A1	20060413	US 2004-962960	20041012
CA 2484473	A1	20060412	CA 2004-2484473	20041012
KR 2006032276	A	20060417	KR 2004-81163	20041012
JP 2006111531	A	20060427	JP 2004-297285	20041012
BR 2004006064	A	20060606	BR 2004-6064	20041013

PRIORITY APPLN. INFO.: US 2004-962960 A 20041012

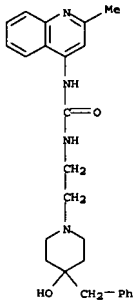
AB The invention relates to 1-[2-(4-benzyl-4-hydroxypiperidin-1-yl)ethyl]-3-(2-methylquinolin-4-yl)urea (I) as a crystalline salt or a non-defined salt hydrate thereof and a process for its preparation Further, the present invention relates to the use of the I as a crystalline salt alone or in combination with other compds. or formulations of the I as a crystalline salt in the preparation of pharmaceutical compns. The invention also relates to the use of such salts in formulations as neurohormonal antagonists. I sulfate was prepared by the reaction of the free base with H2SO4 in MeOH solution

IT 882410-86-8P
RL: PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (benzylhydroxypiperidinyl)ethylmethylquinolinylurea salt)

RN 882410-86-8 CA
CN Urea,
N-[2-[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]ethyl]-N'-(2-methyl-4-quinolinyl)-, sulfate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 540769-28-6
CMF C25 H30 N4 O2

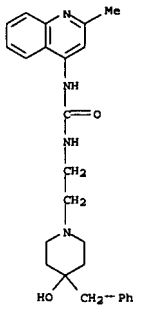


CM 2

CRN 7664-93-9
CMF H2 O4 S

L4 ANSWER 3 OF 7 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 144:304907 CA
TITLE: The urotensin-II receptor antagonist palosuran improves pancreatic and renal function in diabetic rats
AUTHOR(S): Clozel, Martine; Hess, Patrick; Qiu, Changbin; Ding, Shuang-Shuang; Rey, Markus
CORPORATE SOURCE: Actelion Pharmaceuticals Ltd., Allschwil, Switz.
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2006), 316(3), 1115-1121
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Urotensin-II (U-II) is a cyclic peptide that acts through a specific G-protein-coupled receptor, UT receptor. Urotensin-II and UT receptors have been described in pancreas and kidney, but their function is not well understood. We studied the effects of chronic treatment of diabetic rats with the orally active selective U-II receptor antagonist palosuran. Streptozotocin treatment causes pancreatic β-cell destruction and leads to the development of hyperglycemia, dyslipidemia, and renal dysfunction. Long-term treatment of streptozotocin-induced diabetic rats with palosuran improved survival, increased insulin, and slowed the increase in glycemia, glycosylated Hb, and serum lipids. Furthermore, palosuran increased renal blood flow and delayed the development of proteinuria and renal damage. The U-II system is unique in that it plays a role both in insulin secretion and in the renal complications of diabetes. Urotensin receptor antagonism might be a new therapeutic approach for the treatment of diabetes.
IT 540769-28-6, Palosuran
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(urotensin-II receptor antagonist palosuran improves pancreatic and renal function in diabetic rats)
RN 540769-28-6 CA
CN Urea,
N-[2-[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]ethyl]-N'-(2-methyl-4-quinolinyl)- (CA INDEX NAME)

L4 ANSWER 3 OF 7 CA COPYRIGHT 2007 ACS on STN (Continued)

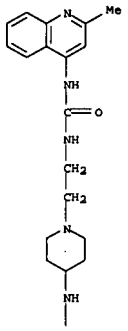


REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

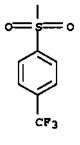
L4 ANSWER 4 OF 7 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:415586 CA
TITLE: G-Protein-Coupled Receptor Affinity Prediction Based on the Use of a Profiling Dataset: QSAR Design, Synthesis, and Experimental Validation
AUTHOR(S): Rolland, Catherine; Gozalbes, Rafael; Nicolaie, Eric; Paugam, Marie-France; Coussy, Laurent; Barbosa, Frederique; Horvath, Dragos; Revah, Frederic
CORPORATE SOURCE: Cerep, Rueil-Malmaison, 92500, Fr.
SOURCE: Journal of Medicinal Chemistry (2005), 48(21), 6563-6574
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A QSAR model accounting for "average" G-protein-coupled receptor (GPCR) binding was built from a large set of exptl. standardized binding data (1939 compds. systematically tested over 40 different GPCRs) and applied to the design of a library of "GPCR-predicted" compds. Three hundred and sixty of these compds. were randomly selected and tested in 21 GPCR binding assays. Positives were defined by their ability to inhibit by more than 70% the binding of reference compds. at 10 μM. A 5.5-fold enrichment in positives was observed when comparing the "GPCR-predicted" compds. with 600 randomly selected compds. predicted as "non-GPCR" from a general collection. The model was efficient in predicting strongest binders, since enrichment was greater for higher cutoffs. Significant enrichment was also observed for peptidic GPCRs and receptors not included to develop the QSAR model, suggesting the usefulness of the model to design ligands binding with newly identified GPCRs, including orphan ones.
IT 540765-44-4
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR design, synthesis, and exptl. validation of G-protein-coupled receptor affinity prediction based on use of a profiling dataset)
RN 540765-44-4 CA
CN Benzenesulfonamide,
N-[1-[2-[[[(2-methyl-4-quinolinyl)amino]carbonyl]amino]ethyl]-4-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 7 CA COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



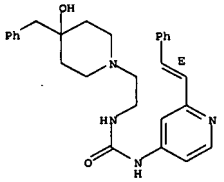
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 5 OF 7 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:355172 CA
TITLE: Preparation of pyridinyl ureas as urotensin II antagonists
INVENTOR(S): Mathys, Boris; Mueller, Claus; Scherz, Michael; Weller, Thomas; Clozel, Martine; Velker, Joerg; Bur, Daniel
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030209	A1	20050407	WO 2004-EP10559	20040921
WO 2005030209	A8	20060511		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004275488	A1	20050407	AU 2004-275488	20040921
CA 2540196	A1	20050407	CA 2004-2540196	20040921
EP 1670470	A1	20060621	EP 2004-765436	20040921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1856305	A	20061101	CN 2004-80027725	20040921
BR 2004014777	A	20061121	BR 2004-14777	20040921
JP 2007506692	T	20070322	JP 2006-527332	20040921
NO 2006001395	A	20060622	NO 2006-1395	20060327
US 2007043081	A1	20070222	US 2006-573516	20060327
PRIORITY APPLN. INFO.:			WO 2003-EP10746 A	20030926
			WO 2003-EP310746 A	20030926
			WO 2004-EP10559 W	20040921

OTHER SOURCE(S): MARPAT 142:355172
GI

L4 ANSWER 5 OF 7 CA COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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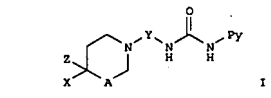
L4 ANSWER 5 OF 7 CA COPYRIGHT 2007 ACS on STN (Continued)



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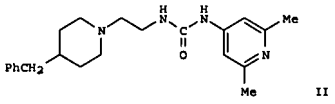


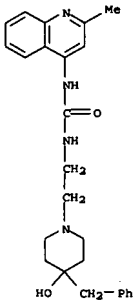
II

AB Title compds. I [wherein Py = pyridin-4-yl disubstituted in positions 2 and 6; X = aryl, arylalkyl, aryloxy, etc.; A = (CH2)n; XCZ form an exocyclic bond which bears an Ar group and the just formed CH2 group; Z = H; when X = aryl or arylalkyl, Z = H, OH, CO2H, etc.; when X = aryl, arylakyl and n = 0, Z = H, OH, CO2H, aryl, etc.; Y = CR6R7(CH2)m, (CH2)mCR6R7; m = 1-2; n = 0-1; R6 = H, alkyl, aryl, arylalkyl; or R6CR7 = carbocycle; R7 = H, Me; and their enantiomers, diastereomers, racemates, pharmaceutically acceptable salts, solvate complexes, and morphol. forms thereof] were prepared as neurohormonal antagonists. For example, reacting 2-(4-benzylpiperidino)-1-ethanamine with 1,3-Bis(2,6-dimethylpyridin-4-yl)urea gave II. In binding assays of human [125I]-urotensin II to human-derived TE-671 rhabdomyosarcoma cells, compds. of the invention showed activity with IC50 values ranging from 0.1 nM to 1000 nM. Thus, I and their pharmaceutical comps., optionally comprising other pharmacol. active compds., are useful for treating a variety of disorders associated with dysregulation of urotensin II, such as heart disease, hypertension, kidney disease, diabetes, asthma, and pulmonary disease (no data).
IT 849224-21-1P, 1-[2-(4-Benzyl-4-hydroxypiperidin-1-yl)ethyl]-3-[2-((E)-styryl)pyridin-4-yl]urea
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of novel 2-piperidinoethyl quinolinyl ureas for use as urotensin II antagonists in combination with other pharmacol. active compds.)
RN 849224-21-1 CA
CN Urea,
N-[2-[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]ethyl]-N'-[2-[(1E)-2-phenylethenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 6 OF 7 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:325457 CA
TITLE: Pharmacology of the urotensin-II receptor antagonist palosuran (ACT-058362; 1-[2-(4-benzyl-4-hydroxy-piperidin-1-yl)-ethyl]-3-(2-methyl-quinolin-4-yl)-urea sulfate salt): First demonstration of a pathophysiological role of the urotensin system
AUTHOR(S): Clozel, Martine; Binkert, Christoph; Birker-Robaczewska, Magdalena; Boukhadra, Celine; Ding, Shuang-Shuang; Fischli, Walter; Hess, Patrick; Mathys, Boris; Morrison, Keith; Mueller, Celia; Mueller, Claus; Nayler, Oliver; Qiu, Changbin; Rey, Markus; Scherz, Michael W.; Velker, Joerg; Weller, Thomas; Xi, Jian-Fei; Ziltener, Patrick
CORPORATE SOURCE: Innovation Centre, Actelion Pharmaceuticals Ltd., Allschwil, Switz.
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2004), 311(1), 204-212
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Urotensin-II (U-II) is a cyclic peptide now described as the most potent vasoconstrictor known. U-II binds to a specific G protein-coupled receptor, formerly the orphan receptor GPR14, now renamed urotensin receptor (UT receptor), and present in mammalian species. Palosuran (ACT-058362) is a new potent and specific antagonist of the human UT receptor. ACT-058362 antagonizes the specific binding of 125I-labeled U-II on natural and recombinant cells carrying the human UT receptor with a high affinity in the low nanomolar range and a competitive mode of antagonism, revealed only with prolonged incubation times. ACT-058362 also inhibits U-II-induced calcium mobilization and mitogen-activated protein kinase phosphorylation. The binding inhibitory potency of ACT-058362 is more than 100-fold less on the rat than on the human UT receptor, which is reflected in a pD'2 value of 5.2 for inhibiting contraction of isolated rat aortic rings induced by U-II. In functional assays of short incubation times, ACT-058362 behaves as an apparent noncompetitive inhibitor. In vivo, i.v. ACT-058362 prevents the no-reflow phenomenon, which follows renal artery clamping in rats, without decreasing blood pressure and prevents the subsequent development of acute renal failure and the histol. consequences of ischemia. In conclusion, the in vivo efficacy of the specific UT receptor antagonist ACT-058362 reveals a role of endogenous U-II in renal ischemia. As a selective renal vasodilator, ACT-058362 may be effective in other renal diseases.
IT 540769-28-6, Palosuran
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(renal vasodilatory pharmacol. of the urotensin-II receptor antagonist palosuran (ACT-058362))
RN 540769-28-6 CA
CN Urea,
N-[2-[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]ethyl]-N'-(2-methyl-4-quinolinyl)- (CA INDEX NAME)

L4 ANSWER 6 OF 7 CA COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
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L4 ANSWER 7 OF 7 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 139:36450 CA
TITLE: Preparation of 4-[(piperidylalkyl)ureido]quinolines, 4-[(pyrrolidylalkyl)ureido]quinolines, and analogs as urotensin II receptor antagonists
INVENTOR(S): Aissaoui, Hamed; Binkert, Christoph; Clozel, Martine; Mathys, Boris; Mueller, Claus; Nayler, Oliver; Scherz, Michael; Velker, Joerg; Weller, Thomas
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048154	A1	20030612	WO 2002-EP13577	20021202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473892	A1	20030612	CA 2002-2473892	20021202
AU 2002358071	A1	20030617	AU 2002-358071	20021202
EP 1499607	A1	20050126	EP 2002-791749	20021202
EP 1499607	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 200402184	A2	20050228	HU 2004-2184	20021202
CN 1617869	A	20050518	CN 2002-827776	20021202
AT 312090	T	20051215	AT 2002-791749	20021202
NZ 534046	A	20060224	NZ 2002-534046	20021202
ES 2254772	T3	20060616	ES 2002-2791749	20021202
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ZA 2004005348	A	20051012	ZA 2004-5348	20040705
US 2005043535	A1	20050224	US 2004-501054	20040915
PRIORITY APPLN. INFO.:			WO 2001-EP14195 A	20011204
			WO 2002-EP13577 W	20021202

OTHER SOURCE(S): MARPAT 139:36450
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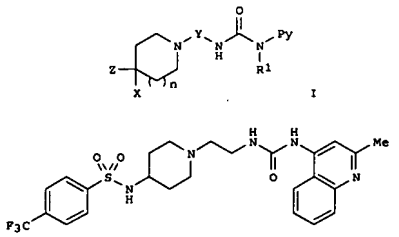
L4 ANSWER 7 OF 7 CA COPYRIGHT 2007 ACS on STN (Continued)



I

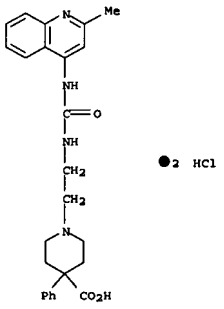
II

AB Title (pyridin-4-yl)urea derivs. and related compds. I [wherein Py = (un)substituted 2-NR2R3-pyridin-4-yl, quinolin-4-yl, (5,6,7,8-tetrahydro)[1,8]naphthyridin-4-yl, or 2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl; X = aryl(oxy), arylalkyl, (aryl)alkyl-SO2NR2, aryl-SO2NR2, (aryl)alkyl-CONR2, aryl-CONR2, (aryl)alkyl-NR3CONR2, aryl-NR3CONR2, aroyl, arylalkanoyl, (aryl)alkyl-NR2CO, aryl-NR2CO, etc.; Y = CR4R5(CH2)m or (CH2)mCR4R5; Z = H; or when X = aryl(alkyl), Z = H, OH, CO2H, aryl-CONR2, alkyl-NR2CO, or (aryl)alkyl-NR2CO; m = 1-2; n = 0-1; R1 = H or alkyl; R2 and R3 = independently H or (aryl)alkyl; or NR2R3 = piperidyl, pyrrolidinyl, or morpholinyl; R4 = H, (aryl)alkyl, or aryl; R5 = H or Me; or CR4R5 = carbocyclyl; and enantiomers, diastereomers, racemates, pharmaceutically acceptable salts, solvates, or morphol. forms thereof] were prepared as urotensin II receptor antagonists. For example, reaction of 4-amino-2-methylquinoline with 2-chloroethylisocyanate gave the urea. Substitution with piperidin-4-ylcarbamic acid tert-Bu ester, deprotection of the amine, and coupling with 4-trifluoromethylbenzenesulfonyl chloride provided II. Compds. of the invention inhibited binding of human [125I]-urotensin II to human-derived rhabdomyosarcoma cells in vitro with IC50 values ranging from 0.1 nM to 1000 nM. Thus, I are useful as active ingredients in pharmaceutical compns. for the treatment of vasoconstriction, proliferation, and a wide variety of other disease states associated with urotensin II regulation (no data).

IT 540769-87-7, 1-[2-[3-(2-Methylquinolin-4-yl)ureido]ethyl]-4-phenylpiperidine-4-carboxylic acid dihydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ureidoquinolines and analogs as urotensin II receptor antagonists for treatment of vasoconstriction, proliferation, and other disorders)

RN 540769-87-7 CA
CN 4-Piperidinecarboxylic acid, 1-[2-[[[(2-methyl-4-quinolinyl)amino]carbonyl]amino]ethyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 7 CA COPYRIGHT 2007 ACS on STN (Continued)



●2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

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L1               STRUCTURE UPLOADED
L2            40 S L1 SAM
L3           621 S L1 FULL

     FILE 'CA' ENTERED AT 13:53:12 ON 23 MAY 2007
L4             7 S L3

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---Logging off of STN---


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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 13:55:24 ON 23 MAY 2007
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